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(FILE 'HOME' ENTERED AT 12:00:20 ON 18 DEC 2007)

FILE 'REGISTRY' ENTERED AT 12:00:34 ON 18 DEC 2007

FILE 'CASREACT' ENTERED AT 12:01:05 ON 18 DEC 2007

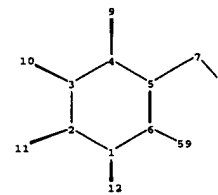
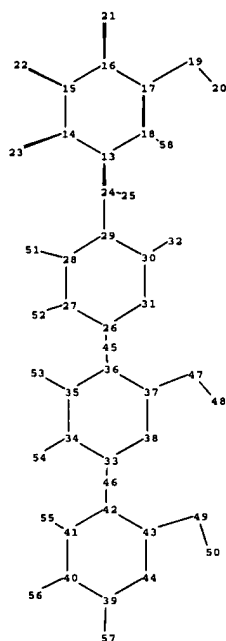
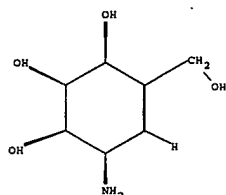
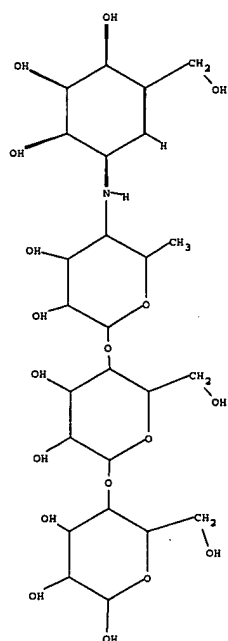
L1	STRUCTURE UPLOADED
L2	0 S L1 SSS SAM
L3	0 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	0 S L4 SSS SAM
L6	0 S L4 SSS FULL
L7	STRUCTURE UPLOADED
L8	0 S L7 SSS SAM
L9	0 S L7 SSS FULL

FILE 'REGISTRY' ENTERED AT 12:06:43 ON 18 DEC 2007

L10	1 S 38231-86-6
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FILE 'CAPLUS, MEDLINE' ENTERED AT 12:07:26 ON 18 DEC 2007

L11	125 S L10
L12	1 S L11 AND TFA
L13	124 S L11 NOT L12
L14	1 S L13 AND TRIFLUOROACET?
L15	123 S L13 NOT L14
L16	12 S L15 AND HYDROLY?
L17	111 S L15 NOT L16
L18	33 S L17 AND VALIDAMYCIN
L19	13 S L18 AND VALIDOXYLAMINE
L20	20 S L18 NOT L19
L21	78 S L17 NOT L18
L22	0 S L21 AND ?FLUOROACET?
L23	0 S L21 AND ?FLUOROETHANO?



chain nodes :

7 8 9 10 11 12 19 20 21 22 23 24 25 32 45 46 47 48 49 50 51 52 53 54 55 56 57
58 59

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 26 27 28 29 30 31 33 34 35 36 37 38 39 40 41 42
43 44

chain bonds :

1-12 2-11 3-10 4-9 5-7 6-59 7-8 13-24 14-23 15-22 16-21 17-19 18-58 19-20 24-25 24-29
26-45 27-52 28-51 30-32 33-46 34-54 35-53 36-45 37-47 39-57 40-56 41-55 42-46 43-49 47-48
49-50

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 26-27 26-31 27-28 28-29 29-30
30-31 33-34 33-38 34-35 35-36 36-37 37-38 39-40 39-44 40-41 41-42 42-43 43-44

exact/norm bonds :

1-2 1-6 1-12 2-3 2-11 3-4 3-10 4-5 4-9 5-6 13-14 13-18 13-24 14-15 14-23 15-16 15-22
16-17 16-21 17-18 24-29 26-27 26-31 26-45 27-28 27-52 28-29 28-51 29-30 30-31 33-34 33-38
33-46 34-35 34-54 35-36 35-53 36-37 36-45 37-38 39-40 39-44 39-57 40-41 40-56 41-42 41-55
42-43 42-46 43-44

exact bonds :

5-7 6-59 7-8 17-19 18-58 19-20 24-25 30-32 37-47 43-49 47-48 49-50

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS12:CLASS
13:Atom

14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS20:CLASS21:CLASS22:CLASS23:CLASS
24:CLASS25:CLASS26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:CLASS33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:CLASS
46:CLASS47:CLASS48:CLASS49:CLASS50:CLASS51:CLASS52:CLASS53:CLASS54:CLASS55:CLASS
56:CLASS57:CLASS58:CLASS59:CLASS

fragments assigned product role:

containing 1

fragments assigned reactant/reagent role:

containing 13

Stereo Bonds:

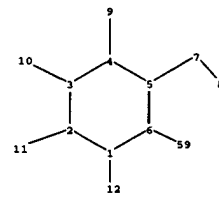
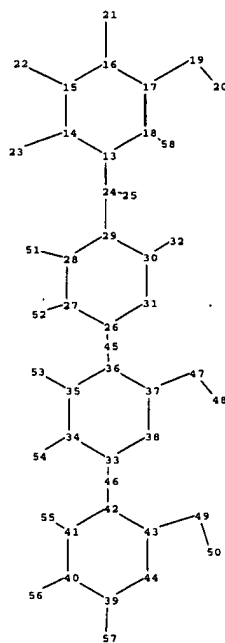
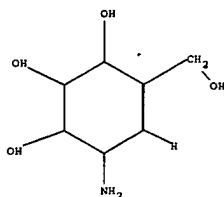
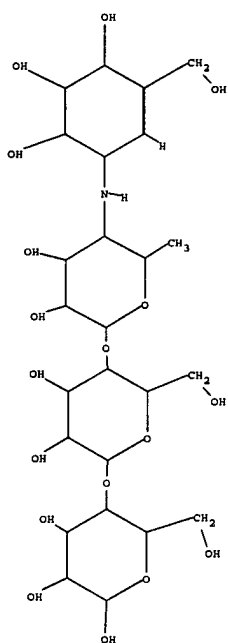
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10-3 (Single Wedge).
11-2 (Single Hash).
12-1 (Single Hash).
21-16 (Single Hash).
22-15 (Single Wedge).
23-14 (Single Hash).
24-13 (Single Hash).

Stereo Chiral Centers:

1 (Parity=Odd)
2 (Parity=Even)
3 (Parity=Odd)
4 (Parity=Even)
13 (Parity=Odd)
14 (Parity=Even)
15 (Parity=Odd)
16 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 4 Nodes= 1 2 3 4
Type=Relative (Default). 4 Nodes= 13 14 15 16



chain nodes :

7 8 9 10 11 12 19 20 21 22 23 24 25 32 45 46 47 48 49 50 51 52 53 54 55 56 57
58 59

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 26 27 28 29 30 31 33 34 35 36 37 38 39 40 41 42
43 44

chain bonds :

1-12 2-11 3-10 4-9 5-7 6-59 7-8 13-24 14-23 15-22 16-21 17-19 18-58 19-20 24-25 24-29
26-45 27-52 28-51 30-32 33-46 34-54 35-53 36-45 37-47 39-57 40-56 41-55 42-46 43-49 47-48
49-50

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 26-27 26-31 27-28 28-29 29-30
30-31 33-34 33-38 34-35 35-36 36-37 37-38 39-40 39-44 40-41 41-42 42-43 43-44

exact/norm bonds :

1-2 1-6 1-12 2-3 2-11 3-4 3-10 4-5 4-9 5-6 13-14 13-18 13-24 14-15 14-23 15-16 15-22
16-17 16-21 17-18 24-29 26-27 26-31 26-45 27-28 27-52 28-29 28-51 29-30 30-31 33-34 33-38
33-46 34-35 34-54 35-36 35-53 36-37 36-45 37-38 39-40 39-44 39-57 40-41 40-56 41-42 41-55
42-43 42-46 43-44

exact bonds :

5-7 6-59 7-8 17-19 18-58 19-20 24-25 30-32 37-47 43-49 47-48 49-50

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS12:CLASS
13:Atom

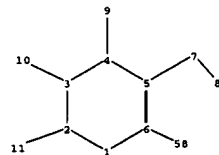
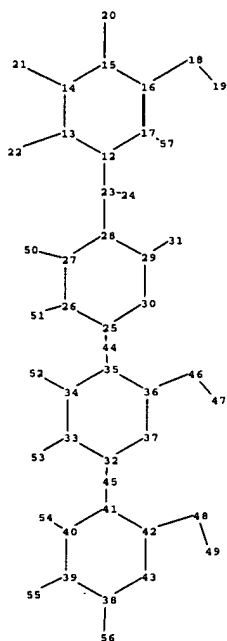
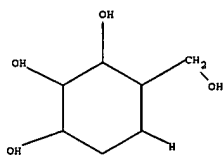
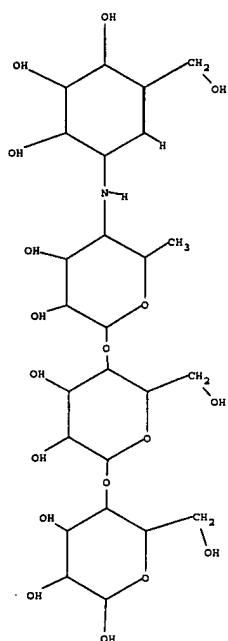
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24:CLASS25:CLASS26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:CLASS33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:CLASS
46:CLASS47:CLASS48:CLASS49:CLASS50:CLASS51:CLASS52:CLASS53:CLASS54:CLASS55:CLASS
56:CLASS57:CLASS58:CLASS59:CLASS

fragments assigned product role:

containing 1

fragments assigned reactant/reagent role:

containing 13



chain nodes :

7 8 9 10 11 18 19 20 21 22 23 24 31 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 25 26 27 28 29 30 32 33 34 35 36 37 38 39 40 41 42 43

chain bonds :

2-11 3-10 4-9 5-7 6-58 7-8 12-23 13-22 14-21 15-20 16-18 17-57 18-19 23-24 23-28 25-44 26-51 27-50 29-31 32-45 33-53 34-52 35-44 36-46 38-56 39-55 40-54 41-45 42-48 46-47 48-49

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 25-26 25-30 26-27 27-28 28-29 29-30 32-33 32-37 33-34 34-35 35-36 36-37 38-39 38-43 39-40 40-41 41-42 42-43

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 3-10 4-5 4-9 5-6 12-13 12-17 12-23 13-14 13-22 14-15 14-21 15-16 15-20 16-17 23-28 25-26 25-30 25-44 26-27 26-51 27-28 27-50 28-29 29-30 32-33 32-37 32-45 33-34 33-53 34-35 34-52 35-36 35-44 36-37 38-39 38-43 38-56 39-40 39-55 40-41 40-54 41-42 41-45 42-43

exact bonds :

5-7 6-58 7-8 16-18 17-57 18-19 23-24 29-31 36-46 42-48 46-47 48-49

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS19:CLASS20:CLASS21:CLASS22:CLASS23:CLASS 24:CLASS

25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS32:Atom 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:CLASS45:CLASS
46:CLASS47:CLASS48:CLASS49:CLASS50:CLASS51:CLASS52:CLASS53:CLASS54:CLASS55:CLASS
56:CLASS57:CLASS58:CLASS

fragments assigned product role:

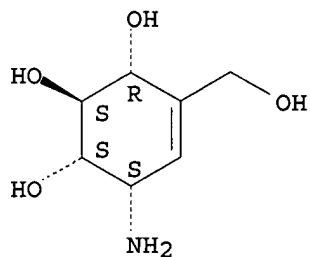
containing 1

fragments assigned reactant/reagent role:

containing 12

L10 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)-
MF C7 H13 N O4
CI COM

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:652542 CAPLUS
DOCUMENT NUMBER: 145:103375
TITLE: Preparation method of valienamine from validamycin
using trifluoroacetic acid
INVENTOR(S): Huh, Yul; Oh, Jin Hwan
PATENT ASSIGNEE(S): Bt Gin, Inc., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

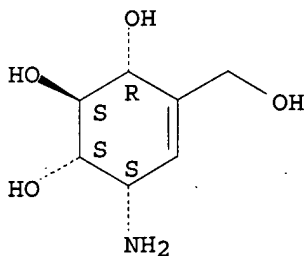
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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KR 2004000751	A	20040107	KR 2002-35682	20020625
PRIORITY APPLN. INFO.:			KR 2002-35682	20020625

AB A method for preparing valienamine from validamycin using trifluoroacetic acid (TFA) is provided to improve the production yield of valienamine by allowing only pseudodisaccharide to be produced as a byproduct and by enhancing the purifying efficiency. The valienamine is prepared from validamycin as a reaction substrate by using trifluoroacetic acid by selective hydrolysis. Preferably the validamycin is at least one selected from the group consisting of validamycin A, B, C, D, E, F and G. The final concentration of validamycin is 0.2-10%, and the concentration of trifluoroacetic acid is 10-60%. Preferably the reaction is performed at a temperature of 80-120°C for 1-24 h in an autoclave.

IT 38231-86-6P, Valienamine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of valienamine from validamycin using trifluoroacetic acid with pseudodisaccharide as single byproduct)

RN 38231-86-6 CAPLUS
CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

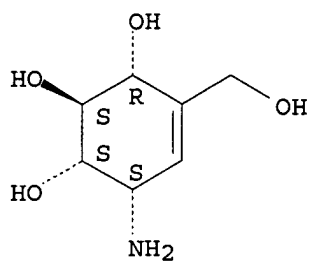


L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:2831 CAPLUS
DOCUMENT NUMBER: 140:59898
TITLE: Hydrolytic preparation of valienamine from acarbose
and/or acarbose derivatives using aqueous
trifluoroacetic acid
INVENTOR(S): Her, Youl; Oh, Jin-Hwan
PATENT ASSIGNEE(S): B T Gin., Inc., S. Korea
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000782	A1	20031231	WO 2002-KR2198	20021123
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
KR 2004002339	A	20040107	KR 2002-51511	20020829
AU 2002368036	A1	20040106	AU 2002-368036	20021123
EP 1539672	A1	20050615	EP 2002-790977	20021123
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1630630	A	20050622	CN 2002-829209	20021123
JP 2005530839	T	20051013	JP 2004-515194	20021123
IN 2004KN01947	A	20051230	IN 2004-KN1947	20041217
US 2005272674	A1	20051208	US 2005-519519	20050801
PRIORITY APPLN. INFO.:			KR 2002-35683	A 20020625
			KR 2002-51511	A 20020829
			WO 2002-KR21983	W 20020101
			WO 2002-KR2198	W 20021123
AB	A method for the preparation of valienamine from acarbose and/or acarbose derivs. (e.g., disaccharide or trisaccharide) is described using aqueous trifluoroacetic acid to effect an acidic hydrolysis of the acarbose or its derivative so as to give valienamine in high yield and selectivity.			
IT	38231-86-6P, Valienamine RL: SPN (Synthetic preparation); PREP (Preparation) (hydrolytic preparation of valienamine from acarbose and/or acarbose derivs. using aqueous trifluoroacetic acid)			
RN	38231-86-6 CAPLUS			
CN	4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)			

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1074181 CAPLUS
DOCUMENT NUMBER: 142:23470
TITLE: Preparation method of valienamine via selective
hydrolysis of acarbose, validamycin, and
validoxylamine derivatives using exchange resins or
zeolite as catalysts
INVENTOR(S): Hur, Yul; Oh, Jin-Hwan; Park, Young-Il
PATENT ASSIGNEE(S): B T Gin., Inc., S. Korea
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108657	A1	20041216	WO 2003-KR2657	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2004106192	A	20041217	KR 2003-38671	20030616
AU 2003304178	A1	20050104	AU 2003-304178	20031205
CN 1849297	A	20061018	CN 2003-80110343	20031205
JP 2006527165	T	20061130	JP 2005-500590	20031205
PRIORITY APPLN. INFO.:			KR 2003-37561	A 20030611
			KR 2003-38671	A 20030616
			WO 2003-KR2657	W 20031205

OTHER SOURCE(S): CASREACT 142:23470

AB Disclosed is a preparation method of valienamine using solid catalysts. The valienamine, which has strong inhibiting activity, is prepared by selective hydrolysis of acarbose and acarbose derivs., validamycin and validamycin derivs., validamycin and validamycin derivs., or validoxylamine and validoxylamine derivs. In the present invention, a solid catalyst such as a strong acidic cation exchange resin, a strong basic anion exchange resin or zeolite is used.

IT 38231-86-6P, Valienamine

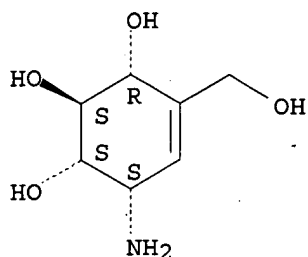
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation method of valienamine via selective hydrolysis of acarbose, validamycin, and validoxylamine derivs. using exchange resins or zeolite as catalysts)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:251450 CAPLUS

DOCUMENT NUMBER: 130:312000

TITLE: Synthesis of [7-3H]valienamine, [7-3H]valienone, [7-3H]valiolamine and [7-3H]valiolone from validamycin A

AUTHOR(S): Lee, Sungsook; Tornus, Ingo; Dong, Haijun; Groger, Stefan

CORPORATE SOURCE: Department of Chemistry, University of Washington, Seattle, WA, 98195-1700, USA

SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1999), 42(4), 361-372

CODEN: JLCRD4; ISSN: 0362-4803

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To investigate the biosynthetic pathway to the cyclitol moieties of acarbose and validamycin A, [7-3H]valienamine, [7-3H]valienone, [7-3H]valiolamine and [7-3H]valiolone were synthesized as plausible precursors. Valienamine together with validamine was isolated from the degradation of validamycin A by Flavobacterium saccharophilum and served as starting material for the synthesis. Validamine was removed partially at the stage of tritylation and completely after the oxidation of the primary hydroxy group at C-7 to the aldehyde. The resulting valienamine aldehyde was reduced with tritiated sodium borohydride to produce [7-3H]valienamine. The latter was converted to [7-3H]valiolamine by a synthetic route described in the literature. The 3H-labeled amines were oxidized to [7-3H]valienone and [7-3H]valiolone, resp., using 3,5-di-tert-butyl-1,2-benzoquinone (DBQ) followed by hydrolysis with oxalic acid.

IT 38231-86-6, Valienamine

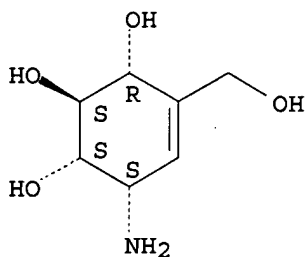
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of [7-3H]valienamine, [7-3H]valienone, [7-3H]valiolamine and [7-3H]valiolone from validamycin A)

RN 38231-86-6 CAPLUS

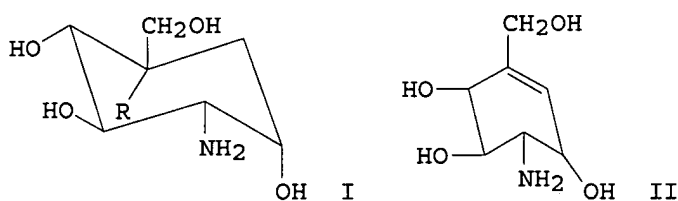
CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



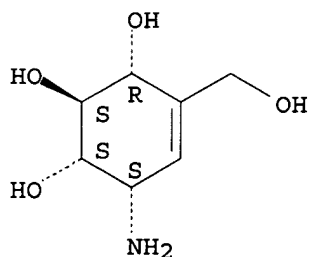
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:449095 CAPLUS
DOCUMENT NUMBER: 117:49095
TITLE: New synthesis of 2-amino-5a-carba-2-deoxy- α -DL-glucopyranose and its transformation into valienamine and valioline analogs
AUTHOR(S): Ogawa, Seiichiro; Tsunoda, Hidetoshi
CORPORATE SOURCE: Fac. Sci. Technol., Keio Univ., Yokohama, 223, Japan
SOURCE: Liebigs Annalen der Chemie (1992), (6), 637-41
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:49095
GI



AB The positional isomers I (R = H, OH) and II of resp. validamine, valioline, and valienamine, derived from 2-amino-5a-carba-2-deoxy- α -DL-glucopyranose, were synthesized as racemates from DL-(1,3/2,4,6)-3-acetamido-1,2-di-O-acetyl-4-bromo-6-bromomethyl-1,2-cyclohexanediol. I and II showed very weak inhibitory activities against yeast α -D-glucosidase.
IT 38231-86-6P, Valienamine
RL: SPN (Synthetic preparation); PREP (Preparation)
(analog, preparation and enzyme inhibition by)
RN 38231-86-6 CAPLUS
CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

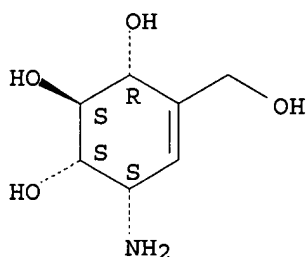
Absolute stereochemistry. Rotation (+).



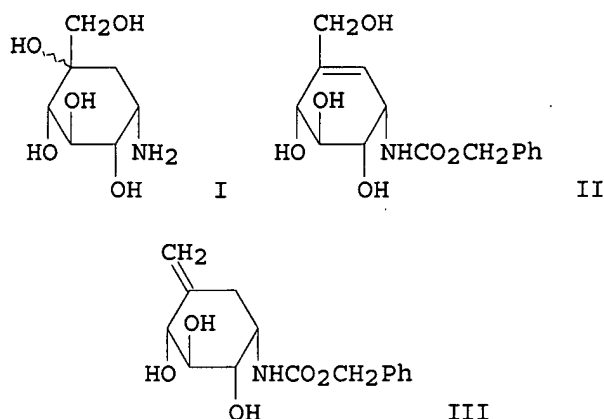
L16 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:473644 CAPLUS
DOCUMENT NUMBER: 113:73644
TITLE: Inhibitory effect of pseudo-aminosugars on oligosaccharide glucosidases I and II and on lysosomal α -glucosidase from rat liver
AUTHOR(S): Takeuchi, Masayoshi; Kamata, Kanae; Yoshida, Masahiro; Kameda, Yukihiko; Matsui, Katsuhiko

CORPORATE SOURCE: Sch. Pharm., Hokuriku Univ., Kanazawa, 920-11, Japan
 SOURCE: Journal of Biochemistry (Tokyo, Japan) (1990), 108(1), 42-6
 CODEN: JOBIAO; ISSN: 0021-924X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The inhibitory effect of three pseudo-aminosugars (validamine, valienamine, and valioline), which were isolated from the broth of *Streptomyces hygroscopicus*, on the oligosaccharide-processing glucosidases I and II involved in glycoprotein biosynthesis in rat liver were examined. Both glucosidases I and II were inhibited to the same extent by the pseudo-aminosugars, and valioline had a more potent inhibitory activity than validamine or valienamine. A 50% inhibition of valioline was observed at 12 μ M for glucosidase I and glucosidase II activities acting resp. on the substrates Glc3Man9GlcNAc2 and p-nitrophenyl α -D-glucopyranoside. Further, in order to investigate further the ability of valioline to inhibit glucosidase I, reaction products were analyzed by gel filtration on a Bio-Gel P-4 column. The inhibitory action of these pseudo-aminosugars on the acid α -glucosidase of rat liver lysosomes was also compared. They competitively inhibited the hydrolysis of both substrates, maltose and glycogen. Valioline again had a more potent lysosomal α -glucosidase inhibitory activity than the other two. The K_i values of valioline for the hydrolysis of maltose and glycogen were 8.1 and 11 μ M, resp. Valioline is a particularly effective inhibitor of oligosaccharide glucosidases I and II and of lysosomal α -glucosidase. Hence valioline might be useful as a research tool in investigations of carbohydrate metabolism
 IT 38231-86-6, Valienamine
 RL: BIOL (Biological study)
 (glucosidase inhibition by, kinetics of, glycoprotein oligosaccharide structure modifn. in relation to)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:51050 CAPLUS
 DOCUMENT NUMBER: 104:51050
 TITLE: Stereoselective conversion of valienamine and validamine into valioline
 AUTHOR(S): Horii, Satoshi; Fukase, Hiroshi; Kameda, Yukihiro
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
 SOURCE: Carbohydrate Research (1985), 140(2), 185-200
 CODEN: CRBRAT; ISSN: 0008-6215
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 104:51050
 GI



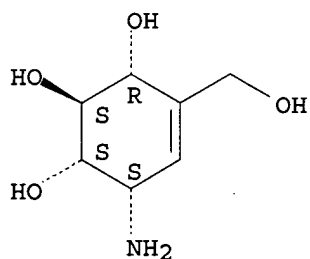
AB Stereoselective conversions of valienamine and validamine into valiolumine [(1S)-I], a new pseudoamino sugar isolated from the fermentation broth of *Streptomyces hygroscopicus* subsp. *limoneus*, and epivaliolamine [(1R)-I] were described. Treatment of carbamate II with halogenation reagents led to ring closure and reductive dehalogenation followed by hydrolysis yielded (1S)-I. Similar treatment of carbamate III produced (1R)-I. (1S)-I inhibited porcine intestinal disaccharidase (ED50 4.9 + 10-8M).

IT 38231-86-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzyloxycarbonylation of)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:163077 CAPLUS

DOCUMENT NUMBER: 96:163077

ORIGINAL REFERENCE NO.: 96:26867a,26870a

TITLE: Cyclitol reactions. V. Synthesis of enantiomerically pure valienamine from quebrachitol

AUTHOR(S): Paulsen, Hans; Heiker, Fred R.

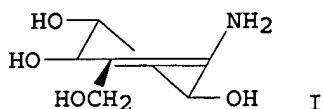
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Hamburg, Hamburg, D-2000/13, Fed. Rep. Ger.

SOURCE: Liebigs Annalen der Chemie (1981), (12), 2180-203
CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



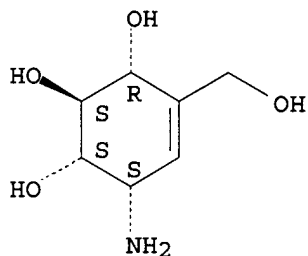
AB Valienamine (I), as a central structural unit of the antidiabetic acarbose, was prepared enantioselectively from quebrachitol. Techniques for introducing sidechains, azido groups, and double bonds into the inositol ring system were investigated.

IT 38231-86-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 12 OF 12 MEDLINE on STN

ACCESSION NUMBER: 91035326 MEDLINE

DOCUMENT NUMBER: PubMed ID: 2229010

TITLE: Inhibitory effect of pseudo-aminosugars on oligosaccharide glucosidases I and II and on lysosomal alpha-glucosidase from rat liver.

AUTHOR: Takeuchi M; Kamata K; Yoshida M; Kameda Y; Matsui K

CORPORATE SOURCE: Department of Biochemistry, School of Pharmacy, Hokuriku University, Ishikawa.

SOURCE: Journal of biochemistry, (1990 Jul) Vol. 108, No. 1, pp. 42-6.
Journal code: 0376600. ISSN: 0021-924X.

PUB. COUNTRY: Japan

DOCUMENT TYPE: (IN VITRO)
Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199012

ENTRY DATE: Entered STN: 8 Feb 1991
Last Updated on STN: 3 Feb 1997
Entered Medline: 6 Dec 1990

AB We examined the inhibitory effect of three pseudo-aminosugars (validamine, valienamine, and valioline), which were isolated from the broth of *Streptomyces hygroscopicus*, on the oligosaccharide-processing glucosidases I and II involved in glycoprotein biosynthesis in rat liver. Both glucosidases I and II were inhibited to the same extent by the pseudoaminosugars, and valioline had a more potent inhibitory activity than validamine or valienamine. A 50% inhibition of valioline was observed at 12 microm for glucosidase I and glucosidase II activities acting respectively on the substrates Glc3Man9GlcNAc2 and p-nitrophenyl alpha-D-glucopyranoside. Further, in order to investigate further the ability of valioline to inhibit glucosidase I, reaction products were

analyzed by gel filtration on a Bio-Gel P-4 column. We also compared the inhibitory action of these pseudo-aminosugars on the acid alpha-glucosidase of rat liver lysosomes. They competitively inhibited the hydrolysis of both substrates, maltose and glycogen. Valiolamine again had a more potent lysosomal alpha-glucosidase inhibitory activity than the other two. The K_i values of valiolamine for the hydrolysis of maltose and glycogen were 8.1 and 11 μM , respectively. Valiolamine is a particularly effective inhibitor of oligosaccharide glucosidases I and II and of lysosomal alpha-glucosidase. Hence valiolamine might be useful as a research tool in investigations of carbohydrate metabolism.

L16 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:157729 CAPLUS

DOCUMENT NUMBER: 147:85664

TITLE: High performance liquid chromatographic method for the determination of Valienamine

AUTHOR(S): Yang, Lei; Gao, Min; Zu, Yuangang

CORPORATE SOURCE: Key Laboratory of Forest Plant Ecology of the Ministry of Education, Northeast Forest University, Harbin, 150040, Peop. Rep. China

SOURCE: Fenxi Huaxue (2006), 34(9), 1357

CODEN: FHHHDT; ISSN: 0253-3820

PUBLISHER: Kexue Chubanshe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Valienamine formed by hydrolysis of acarbose. Valienamine was determined by HPLC on Hypersil NH2 column using diode array detection. The mobile phase is acetonitrile solution containing phosphate buffer solution at pH

6.8.

IT 38231-86-6P, Valienamine

RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study);

PREP (Preparation)

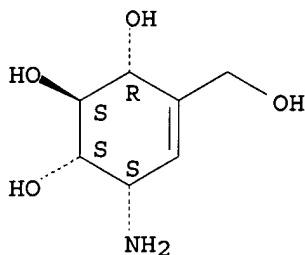
(high performance liquid chromatog. method for determination of Valienamine)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA

INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1133177 CAPLUS

DOCUMENT NUMBER: 146:296177

TITLE: Simple method for preparing valienamine in high yield and low cost by using D-glucose derivatives as starting material

INVENTOR(S): Kim, Kwan Soo; Kim, Dong Jun; Lee, Bo Young; Park, Eun Ju; Lee, Jae Heon; Chang, Young Kil; Lee, Gwan Sun

PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2006038813	A	20060504	KR 2004-87970	20041101
PRIORITY APPLN. INFO.:			KR 2004-87970	20041101

AB A method for preparing valienamine [i.e., (1S,2S,3R,6S)-6-amino-4-(hydroxymethyl)-4-cyclohexene-1,2,3-triol] is claimed. Said method

provides valienamine (useful as an intermediate of voglibose, 3,4-dideoxy-4-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-2-C-(hydroxymethyl)-D-epi-inositol) in higher yield and by a simpler process compared with a conventional preparation. The method for preparing valienamine comprises the reaction of a D-glucose derivative with ethane thiol in the presence of acid to provide a dithiol compound. Said method comprises oxidizing the dithiol compound to provide a ketone compound. The ketone

compound

is methylated with Tebbe's reagent or Petasis reagent or undergoes a Wittig reaction to provide an olefin compound. Said olefin compound is hydrolyzed to provide an aldehyde compound which is treated with vinyl magnesium halide and Grignard reagent to provide an allylic alc. derivative. A ring-closing metathesis (RCM) of the allylic alc. derivative in

the

presence of an RCM reaction catalyst provides a cyclohexene derivative. An azide reaction of the cyclohexene derivative is carried out to provide an azide compound. This azide is reduced to provide a protected valienamine derivative. The protected derivative is deprotected to provide valienamine (as represented by a certain formula; no data). More narrow definitions are indicated; however, specific chemical structures and/or addnl. information are not provided here.

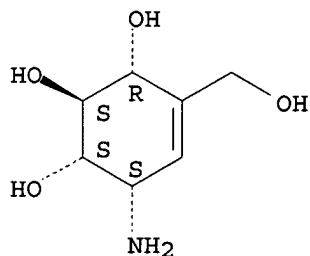
IT 38231-86-6P, Valienamine

RL: SPN (Synthetic preparation); PREP (Preparation)
(simple method for preparing valienamine (intermediate for voglibose) via protection, methylation, Wittig reaction, hydrolysis, formation of allylic alc., ring-closing metathesis, formation of cyclohexane derivative, azide formation and reduction)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1066194 CAPLUS

DOCUMENT NUMBER: 145:397114

TITLE: Hydrolytic method for preparing valienamine from acarbose or acarbose derivatives in the presence of a base

INVENTOR(S): Byun, Il Suk; Kim, Joo Sung; Shin, Sung Hye; Kim, Wan Joo

PATENT ASSIGNEE(S): Chemtech Research Incorporation, S. Korea

SOURCE: PCT Int. Appl., 12pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006107134	A1	20061012	WO 2005-KR4093	20051202

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CN 101048365 A 20071003 CN 2005-80036363 20051202
 EP 1863754 A1 20071212 EP 2005-821418 20051202

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

IN 2007KN01342 A 20070720 IN 2007-KN1342 20070417

PRIORITY APPLN. INFO.: KR 2005-21852 A 20050316
 KR 2005-36755 A 20050502
 WO 2005-KR4093 W 20051202

OTHER SOURCE(S): CASREACT 145:397114; MARPAT 145:397114

AB The present invention provides a method for preparing valienamine from acarbose or acarbose derivs. by using a base (e.g., sodium hydroxide). The present invention provides an improved method for preparing valienamine compared to conventional preparation methods of valienamine by simplifying the reaction steps and diminishing byproducts.

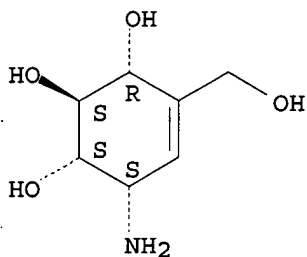
IT 38231-86-6P, Valienamine

RL: SPN (Synthetic preparation); PREP (Preparation)
 (hydrolytic method for preparing valienamine from acarbose or acarbose derivs. in the presence of a base)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:536447 CAPLUS

DOCUMENT NUMBER: 143:284769

TITLE: Microbial transformation of validamycin A to valienamine by immobilized cells

AUTHOR(S): Zheng, Yu-Guo; Zhang, Xian-Feng; Shen, Yin-Chu

CORPORATE SOURCE: Institute of Bioengineering, Zhejiang University of Technology, Hangzhou, 310014, Peop. Rep. China

SOURCE: Biocatalysis and Biotransformation (2005), 23(2), 71-77

CODEN: BOBOEQ; ISSN: 1024-2422

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:284769

AB Immobilized *Pseudomonas* sp. HZ519 cells have been used for transformation of validamycin A to valienamine and the degradation pathway of validamycin A by *Pseudomonas* sp. HZ519 cells have been used for transformation of validamycin A to valienamine and the degradation pathway of validamycin A by *Pseudomonas* sp. HZ519 has also been studied. Substrate inhibition in immobilized cell system was avoided. An average of 8.6 g L⁻¹ valienamine concentration was obtained when concentration of validamycin A was increased up to 120 g

L-1. Through a treatment of the immobilized cells with 0.3 mol L⁻¹ substrate, the activity of the immobilized cells was increased distinctly. Compared with free cells, the productivity of valienamine by CA-immobilized cells was improved about three times. The reusability of the immobilized cells was evaluated with repeated-batch degradation expts. The Tiele modulus was obtained from the exptl. effectiveness factor. The result showed that the degradation process in the immobilized system was governed by intraparticle diffusion and chemical reaction.

IT 38231-86-6P, Valienamine

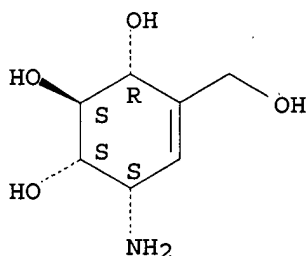
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)

(microbial transformation of validamycin to valienamine by immobilized cells)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:241641 CAPLUS

DOCUMENT NUMBER: 142:446071

TITLE: A New Method for Production of Valienamine with Microbial Degradation of Acarbose

AUTHOR(S): Chen, Xiaolong; Zheng, Yuguo; Shen, Yinchu

CORPORATE SOURCE: Institute of Bioengineering, Zhejiang University of Technology, Hangzhou, 310032, Peop. Rep. China

SOURCE: Biotechnology Progress (2005), 21(3), 1002-1003
CODEN: BIPRET; ISSN: 8756-7938

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:446071

AB A new method for the production of valienamine with the microbial degradation of

acarbose is described. The microorganism was screened by our laboratory and identified as *Stenotrophomonas maltophilia*. After separation, valienamine was analyzed with UV, IR, and ¹H and ¹³C NMR. The yield was more than 60%.

IT 38231-86-6P, Valienamine

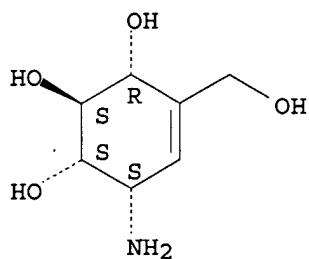
RL: BMF (Bioindustrial manufacture); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)

(production of valienamine by microbial degradation of acarbose using
Stenotrophomonas maltophilia)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).

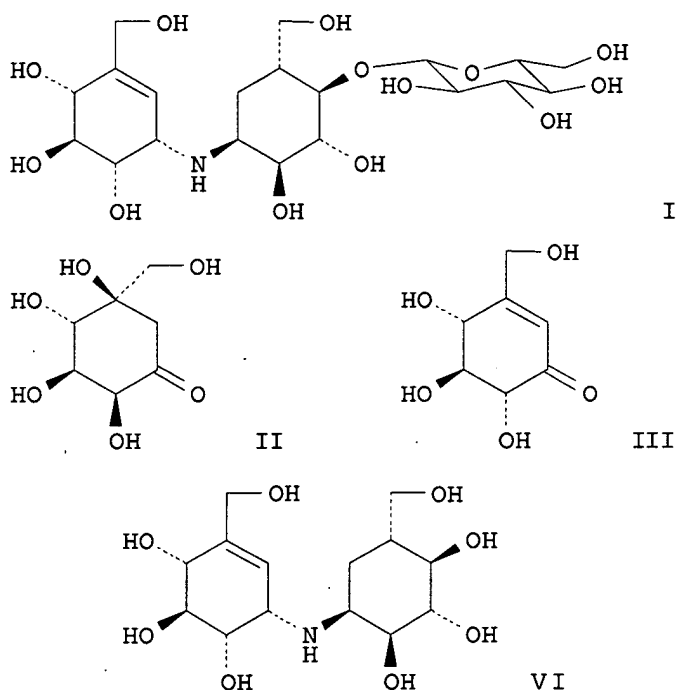


REFERENCE COUNT:

26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:124733 CAPLUS
 DOCUMENT NUMBER: 134:292537
 TITLE: Biosynthesis of the validamycins: Identification of intermediates in the biosynthesis of validamycin A by *Streptomyces hygroscopicus* var. *limoneus*
 AUTHOR(S): Dong, Haijun; Mahmud, Taifo; Tornus, Ingo; Lee, Sungsook; Floss, Heinz G.
 CORPORATE SOURCE: Department of Chemistry, University of Washington, Seattle, WA, 98195-1700, USA
 SOURCE: Journal of the American Chemical Society (2001), 123(12), 2733-2742
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

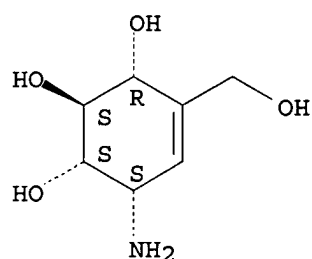


AB To study the biosynthesis of the pseudotriscacharide antibiotic, validamycin A (I), a number of potential precursors of the antibiotic were synthesized in 2H-, 3H-, or 13C-labeled form and fed to cultures of *Streptomyces hygroscopicus* var. *limoneus*. The resulting I from each of these feeding expts. was isolated, purified and analyzed by liquid scintillation counting, 2H- or 13C NMR or selective ion monitoring mass spectrometry (SIM-MS) techniques. The results demonstrate that 2-epi-5-epi-valiolone (II) is specifically incorporated into I and labels both cyclitol moieties. This suggests that II is the initial cyclization product generated from an open-chain C7 precursor, D-sedoheptulose 7-phosphate, by a DHQ synthase-like cyclization mechanism. A more proximate precursor of I is valienone (III), which is also incorporated into both cyclitol moieties. The conversion of II into III involves first epimerization to 5-epi-valiolone, which is efficiently incorporated into

I, followed by dehydration, although a low level of incorporation of 2-epi-valienone is also observed. Reduction of III affords validone (IV), which is also incorporated specifically into I, but labels only the reduced cyclitol moiety. The mode of introduction of the nitrogen atom linking the two pseudosaccharide moieties is not clear yet. 7-Tritiated valioline, valienamine, and validamine (V) were all not incorporated into I, although each of these amines has been isolated from the fermentation, with V being most prevalent. Demonstration of in vivo formation of [7-3H]-V from [7-3H]-IV suggests that V may be a pathway intermediate and that the nonincorporation of [7-3H]-V into I is due to a lack of cellular uptake. We thus propose that V, formed by amination of IV, and III condense to form a Schiff base, which is reduced to the pseudodisaccharide unit, validoxylamine A (VI). Transfer of a D-glucose unit to the 4'-position of VI then completes the biosynthesis of I. Other possibilities for the mechanism of formation of the nitrogen bridge between the two pseudosaccharide units are also discussed.

IT 38231-86-6, Valienamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of validamycin A labeled precursors)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



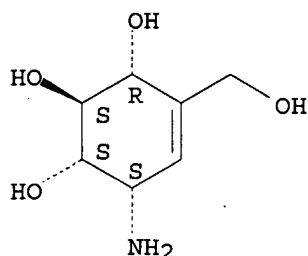
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:650258 CAPLUS
 DOCUMENT NUMBER: 119:250258
 TITLE: Valiolamine and its N-substituted derivatives.
 α -D-glucosidase inhibitors. From validamycins to voglibose (AO-128), and antidiabetic agent
 AUTHOR(S): Horii, Satoshi
 CORPORATE SOURCE: Pharm. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan
 SOURCE: Takeda Kenkyushoho (1993), 52, 1-26
 CODEN: TAKHAA; ISSN: 0371-5167
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English

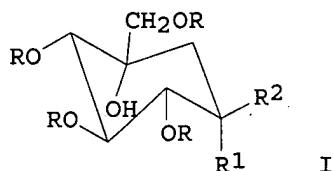
AB A review with 41 refs. on pseudo-amino sugars and their α -D-glucosidase inhibitory activity, stereoselective conversion of valienamine and validamine into valiolamine, preparation of N-substituted valiolamines and their α -D-glucosidase inhibitory activity, synthesis of valiolamine and voglibose (AO-128) from D-glucose, and total synthesis of validoxylamine G and validamycin G.

IT 38231-86-6, Valienamine
 RL: PROC (Process)
 (stereoselective conversion of, into valiolamine)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:408331 CAPLUS
DOCUMENT NUMBER: 117:8331
TITLE: Synthesis of valioline and its N-substituted derivatives AO-128, validoxylamine G, and validamycin G via branched-chain inosose derivatives
AUTHOR(S): Fukase, Hiroshi; Horii, Satoshi
CORPORATE SOURCE: Res. Dev. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SOURCE: Journal of Organic Chemistry (1992), 57(13), 3651-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Novel synthetic routes to valioline I ($R = R_2 = H$, $R_1 = NH_2$) (II) and N-substituted valioline derivs. via branched-chain inosose derivs. are described. Cyclohexanetetrol I ($R = CH_2Ph$, $R_1 = R_2 = O$) (III), a branched-chain inosose derivative prepared from D-glucose, has been converted into II. N-Substituted valioline derivs. having strong α -D-glucosidase inhibitory activity have been synthesized by the direct reductive amination of the branched-chain inosose derivative III with an appropriate amino compound to construct the N-substituent moiety, followed by removal of the O-benzyl protecting group. The stereoselective preparation of two-representative derivs., N-[2-hydroxy-1-(hydroxymethyl)ethyl]valioline (AO-128) and N-[(1R,2R)-2-hydroxycyclohexyl]valioline, is described.

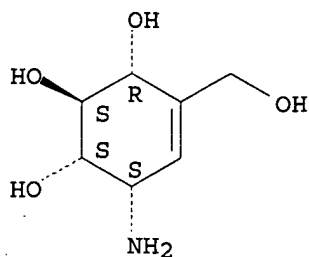
IT 38231-86-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

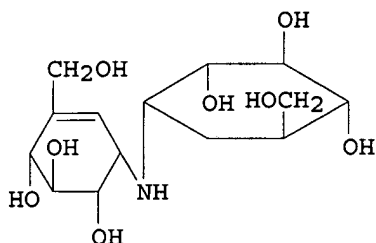
RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

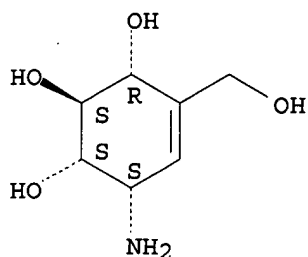


L19 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:255930 CAPLUS
 DOCUMENT NUMBER: 116:255930
 TITLE: All eight possible mono- β -D-glucosides of
 validoxylamine A. I. Preparation and
 structure determination
 AUTHOR(S): Asano, Naoki; Kameda, Yukihiro; Matsui, Katsuhiko
 CORPORATE SOURCE: Sch. Pharm., Hokuriku Univ., Kanazawa, 920-11, Japan
 SOURCE: Journal of Antibiotics (1991), 44(12), 1406-16
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:255930
 GI



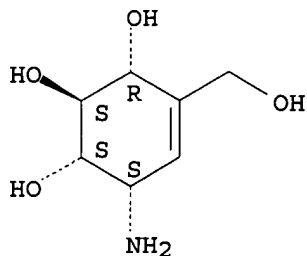
AB Validamycin A is the major and most active compound among the
 validamycin complex. Since the site of β -glucosidic
 attachment to validoxylamine A (I) was expected to affect the
 activity against the pathogenic fungus, *Rhizoctonia solani*, all eight
 possible mono- β -D-glucosides of I were prepared 2-O-, 4-O-, 4-O-, And
 7'-O- β -D-glucopyranosylvalidoxylamine A were prepared by microbial
 β -glycosylation of I with strains of *Rhodotorula* sp. 7-O- and
 6-O- β -D-glucopyranosylvalidoxylamine A were prepared semisynthetically
 through microbial formation of 7-O- β -D-glucopyranosylvalidamine.
 3-O- And 5'-O- β -D-glucopyranosylvalidoxylamine A were chemical
 synthesized.
 IT 38231-86-6, Valienamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (glycosidation of, microbial)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA
 INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:163594 CAPLUS
 DOCUMENT NUMBER: 104:163594
 TITLE: Development of validamycin, its controlling effect on rice sheath blight
 AUTHOR(S): Yamamoto, Hiroichi
 CORPORATE SOURCE: Agric. Chem. Div., Takeda Chem. Ind., Ltd., Japan
 SOURCE: Japan Pesticide Information (1985), 47, 17-22
 CODEN: JPIFAN; ISSN: 0368-265X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Validamycin A (I) [37248-47-8] isolated from *Streptomyces hygroscopicus limoneus* (strain T-7545) controlled rice sheath blight caused by *Rhizoctonia solani* at 45 g/ha for high-volume spray and 90-120 g/ha for dusting. I.v. LD50 values of I were 7.2-7.5 and >10 g/kg in rats and mice resp., whereas oral LD50 was >20 g/kg because of detoxication by intestinal microflora. I injected i.v. into rats and guinea pigs was rapidly excreted in urine without metabolization. β -Glucosidase [9001-22-3] of the intestinal bacteria of rats and guinea pigs treated orally with I, and of the rice epiphytic and soil microbes split I to validoxylamine A (II) [38665-10-0] and D-glucose [50-99-7]. Subsequently the soil microbes converted II to valienamine (III) [38231-86-6] and validamine (IV) [32780-32-8].
 IT 38231-86-6
 RL: BIOL (Biological study)
 (validamycin A metabolite, microbial detoxication in relation to)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

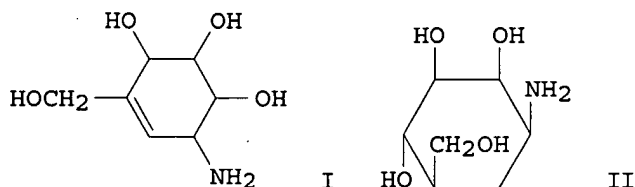
Absolute stereochemistry. Rotation (+).



L19 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:33258 CAPLUS
 DOCUMENT NUMBER: 100:33258
 TITLE: Production of valienamine and validamine
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Institute for Fermentation Research
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

DOCUMENT TYPE: CODEN: JKXXAF
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: Japanese
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58152496	A	19830910	JP 1982-34923	19820304
JP 02026957	B	19900613		
PRIORITY APPLN. INFO.:			JP 1982-34923	19820304
OTHER SOURCE(S):	CASREACT 100:33258			
GI				



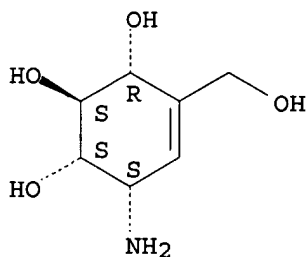
AB Valienamine (I) [38231-86-6] and(or) validamine (II) [32780-32-8] are produced by treating validamycin A [37248-47-8] and(or) validoxylamine [38665-10-0] with *Cytophaga heparina*. Thus, the microorganism was aerobically cultured at 28° for 96 h on a medium containing (NH₄)₂SO₄ 1, KH₂PO₄ 0.7, K₂HPO₄ 0.3, MgSO₄ 0.01 kg, 20% validamycin A 10, and water 100 L. The culture yielded 12.7 g valienamine.

IT 38231-86-6P
 RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
 (manufacture of, with *Cytophaga heparina*)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:525711 CAPLUS

DOCUMENT NUMBER: 97:125711

ORIGINAL REFERENCE NO.: 97:20861a,20864a

TITLE: Valienamine

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

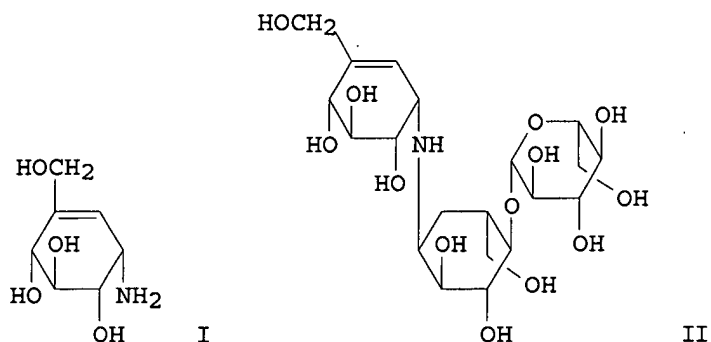
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 57054593	A	19820401	JP 1980-128157	19800916
JP 02002589	B	19900118		
PRIORITY APPLN. INFO.:			JP 1980-128157	19800916
GI				



AB Valienamine (I) [38231-86-6] is produced from validamycin or validoxylamine with Flavobacterium. Thus, *F. saccharophilum* 121 (IFO 13984) was cultured with shaking at 27° for 4 days on 2 L pH 7.1 medium containing validamycin A (II) [37248-47-8] 1, (NH₄)₂SO₄ 1, K₂HPO₄ 0.7, KH₂PO₄ 0.3, and MgSO₄ 0.01%. The culture supernate was subjected to column chromatog. on Amberlite IRC-50 (NH₄⁺) and Dowex 1x2 (OH⁻). The I-containing fraction was concentrated under vacuum and 3.5 g I was crystallized from 80% EtOH.

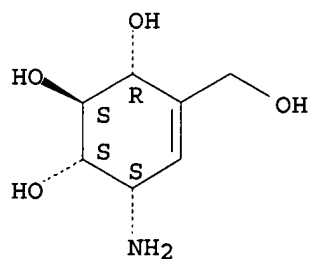
IT 38231-86-6P

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manufacture of, with *Flavobacterium saccharophilum*)

RN 38231-86-6 CAPLUS

CN	4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA , INDEX NAME)
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Absolute stereochemistry. Rotation (+).



L19 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1150056 CAPLUS
DOCUMENT NUMBER: 147:420781
TITLE: Application of engineering bacterium containing
 β -glucosidase gene in manufacturing
validoxylamine A
INVENTOR(S): Yin, Yu; Zhu, Li; Yang, Zhijun; Tao, Zhengli; Chen,
Daijie; Wang, Tianjiao
PATENT ASSIGNEE(S): Shanghai Health-Creation Center for Biopharmaceutics R
& D, Peop. Rep. China; Zhejiang Medicine Co., Ltd.
Xinchang Pharmaceutical Factory
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101050447	A	20071010	CN 2006-10025503	20060407
PRIORITY APPLN. INFO.:			CN 2006-10025503	20060407

AB The invention relates to an engineered Escherichia coli strain that contains β -glucosidase gene and its application for manufacturing validoxylamine A. The title engineering bacterium is Escherichia coli (CGMCC No.1626), which can convert validamycin A into validoxylamine A. The engineering bacterium can be used for manufacturing validoxylamine A, and has the advantages of high conversion efficiency, low cost, mild reaction conditions, high product purity, simple product separation and purification, and little chemical pollution.

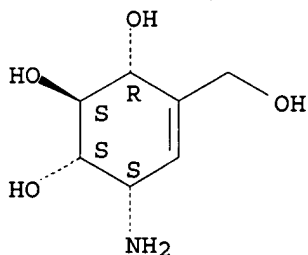
IT 38231-86-6, Valienamine

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(application of engineering bacterium containing β -glucosidase gene in manufacturing validoxylamine A)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

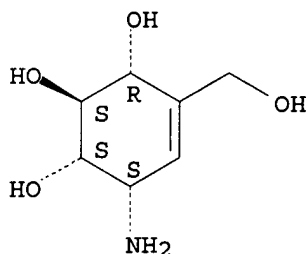


L19 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:605051 CAPLUS
DOCUMENT NUMBER: 145:466845
TITLE: Development of validamycin and its
decomposing products
AUTHOR(S): Shentu, Xuping; Zheng, Yuguo; Yu, Xiaoping
CORPORATE SOURCE: Institute of Life Sciences, China Institute of
Metrology, Hangzhou, 310018, Peop. Rep. China
SOURCE: Guowai Yiyao Kangshengsu Fence (2005), 26(6), 275-278
CODEN: GYKFAT; ISSN: 1001-8751

PUBLISHER: Zhongguo Kangshengsu Zazhishe
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Chinese
AB A review. Validamycin can be enzymolyzed into validoxylamine A, valienamine, and validamine. Validoxylamine A is an inhibitor of insect trehalase, and can be developed into biopesticide. Valienamine and validamine are glycosidase inhibitors, and are important medicinal intermediates for synthesizing other enzyme inhibitor type hypoglycemic agents. This paper reviewed the structures, characteristics, and preparation methods of validoxylamine A, valienamine, and validamine.
IT 38231-86-6P, Valienamine
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses) (development of validamycin and its decomposing products)
RN 38231-86-6 CAPLUS
CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:592429 CAPLUS
DOCUMENT NUMBER: 145:242594
TITLE: Genetic localization and heterologous expression of validamycin biosynthetic gene cluster isolated from *Streptomyces hygroscopicus* var. *limoneus* KCCM 11405 (IFO 12704)
AUTHOR(S): Singh, Deepak; Seo, Myung-Ji; Kwon, Hyung-Jin; Rajkarnikar, Arishma; Kim, Kyoung-Rok; Kim, Soon-Ok; Suh, Joo-Won
CORPORATE SOURCE: Department of Biological Science, Institute of Bioscience and Biotechnology, Myongji University, Yongin, 449-728, S. Korea
SOURCE: Gene (2006), 376(1), 13-23
CODEN: GENED6; ISSN: 0378-1119
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The validamycin biosynthetic gene cluster was isolated from *Streptomyces hygroscopicus* var. *limoneus* KTCC 1715 (IFO 12704) using a pair of degenerated PCR primers designed from the sequence of *AcBc*, 2-epi-5-epi-valiolone synthase in the acarbose biosynthesis. The nucleotide sequence anal. of the 37-kb DNA region revealed 22 complete ORFs including *vldA*, the *acBc* ortholog. Located around *vldA*, *vldB* to *K* were predicted to encode adenylyltransferase, kinase, ketoreductase (or epimerase/dehydratase), glycosyltransferase, aminotransferase, dehydrogenase, phosphatase/phosphomutase, glycosyl hydrolase, transport protein, and glycosyltransferase, resp. Apparently absent were any regulatory components within the sequenced region. The disruption of *vldA* abolished the validamycin biosynthesis and the plasmid-based complementation with *vldABC* restored production to the *vldA*-mutant; this

substantiated that vldABC are essential to validamycin biosynthesis. This finding enabled us to discover the complete validamycin biosynthetic cluster. The cosmid clone of pJWS3001 harboring the 37-kb DNA region conferred validamycin -accumulation to *Streptomyces lividans*, indicating that the entire gene cluster of validamycin biosynthesis had been isolated. Addnl., *Streptomyces albus*, transformed with pJWS3001, produced a high level of α -glucosidase inhibitory activity in a R2YE liquid culture, which highlights the portability of the cluster within *Streptomyces*. The product of vldI was characterized as a glucoamylase (kcat, 32 s⁻¹; Km, 5 mg/mL of starch) that does not play any apparent role in the validamycin biosynthesis. In order to characterize the upstream region, a vldW knockout was achieved via gene-replacement. A phenotypic study of the resulting mutant revealed that vldW is not essential for the host's ability to control *Pellicularia filamentosa* growth. The current information suggests that vldA to vldH is the genetic region essential to validamycin biosynthesis. This promises excellent opportunities to elucidate biosynthetic route(s) to the validamycin complex and to engineer the pathway for industrial application.

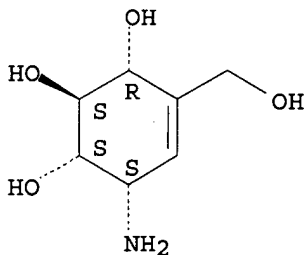
IT 38231-86-6, Valienamine

RL: BSU (Biological study, unclassified); BIOL (Biological study) (genetic localization and heterologous expression of validamycin biosynthetic gene cluster isolated from *Streptomyces hygroscopicus*)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1089824 CAPLUS

DOCUMENT NUMBER: 144:50172

TITLE: Preparation of valienamine and validamine using *Stenotrophomonas maltophilia* CCTCC No.M 204024

INVENTOR(S): Zheng, Yuguo; Chen, Xiaolong; Xue, Yaping; Wang, Yuanshan; Shen, Yinchu

PATENT ASSIGNEE(S): Zhejiang University of Technology, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1563397	A	20050112	CN 2004-10017516	20040405
WO 2005098014	A1	20051020	WO 2005-CN267	20050307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

CN 2004-10017516 A 20040405

AB A process is provided for the production of valienamine and validamine using a new strain of *Stenotrophomonas maltophilia* (CCTCC No.M 204024).

Valienamine and validamine can be prepared from validamycin or validoxylamine using *Stenotrophomonas maltophilia* cells or an enzyme extract from *Stenotrophomonas maltophilia*. The preparation method comprises fermenting at 20-40 °C with initial pH of 6.0-8.0 for 1-180 h to decompose validamycin or validoxylamine to form valienamine and validamine followed by product purification by ion exchange chromatog. The culture medium contains validamycin (0.5-20.0 weight/volume %), (NH₄)₂SO₄ (0.5-10.0%), KCl (0.5-5.0%), Na₂HPO₄•12H₂O (0.1-10.0%), NaH₂PO₄•2H₂O (0.1-5.0%), MgSO₄ (0.01-1.0%), and water (balance).

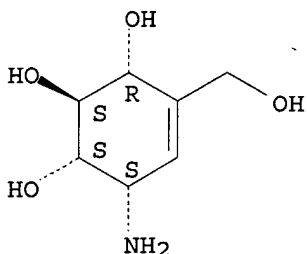
IT 38231-86-6P, Valienamine

RL: BMF (Bioindustrial manufacture); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
 (preparation of valienamine and validamine using *Stenotrophomonas maltophilia* CCTCC No.M 204024)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:950120 CAPLUS

DOCUMENT NUMBER: 141:365183

TITLE: Valienamine and validamine manufacture with *Paenibacillus*

INVENTOR(S): Tsujita, Kazuhiko; Matsuo, Norishige; Negishi, Ai; Negishi, Yoshinori

PATENT ASSIGNEE(S): Godo Shusei Co., Ltd., Japan

SOURCE: Jpn. Tokkyo Koho, 12 pp.

CODEN: JTXFF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

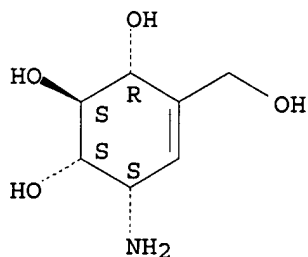
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 3586684	B1	20041110	JP 2004-102489	20040331

JP 2005151967 A 20050616
 JP 2005151971 A 20050616 JP 2004-200143 20040707
 JP 4012176 B2 20071121
 PRIORITY APPLN. INFO.: JP 2003-367059 A 20031028
 JP 2004-102489 A3 20040331
 AB The valienamine and validamine useful for manufacturing α -glucosidase inhibitor valioline are manufactured from validamycin or validoxylamine with *Paenibacillus*. Manufacture of validoxylamine from validamycin A with *Paenibacillus* and newly isolated *Paenibacillus* strains was shown. The physiol. and morphol. characteristics of the newly isolated soil *Paenibacillus* strains were also given.
 IT 38231-86-6P, Valienamine
 RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
 (α -glucosidase inhibitor materials valienamine and validamine manufacture with *Paenibacillus*)
 RN 38231-86-6 CAPLUS
 CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:623109 CAPLUS

DOCUMENT NUMBER: 139:349688

TITLE: Reduced Formation of Byproduct Component C in Acarbose Fermentation by *Actinoplanes* sp. CKD485-16

AUTHOR(S): Choi, Byoung Taek; Shin, Chul Soo

CORPORATE SOURCE: Department of Biotechnology College of Engineering, Yonsei University, Seoul, 120-749, S. Korea

SOURCE: Biotechnology Progress (2003), 19(6), 1677-1682

CODEN: BIPRET; ISSN: 8756-7938

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:349688

AB Acarbose fermentation was conducted by cultivation of *Actinoplanes* sp. CKD485-16. Approx. 2,300 mg/L of acarbose was produced at the end of cultivation along with 600 mg/L of the acarbose byproduct component C. Maltose, a known moiety of acarbose, should be maintained at high concentration levels in culture broths for efficient acarbose production. The acarbose yield increased with an increasing osmolality of the culture medium, with a maximum value of 3,200 mg/L obtained at 500 mOsm/kg. Component C was also produced in proportion to the osmolality. Conversion of acarbose to component C was accomplished with resting whole cells. Inhibitors of the conversion of acarbose to component C were sought since component C is probably derived from acarbose. Valienamine was found to be a potent inhibitor, resulting in a more than 90% reduction in component C formation at a 10 μ M concentration. Effects were similar in a 1,500-L pilot fermentor with acarbose and component C yields of 3,490 and 43 mg/L at 500 mOsm/kg, resp.

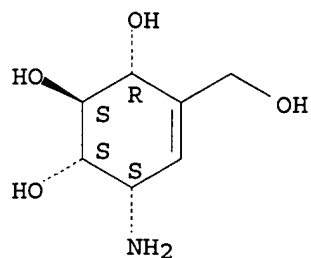
IT 38231-86-6, Valienamine

RL: BCP (Biochemical process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(reduced formation of byproduct component C in acarbose fermentation by
Actinoplanes sp. CKD485-16)

RN 38231-86-6 CAPLUS

CN 4-Cyclohexene-1,2,3-triol, 6-amino-4-(hydroxymethyl)-, (1S,2S,3R,6S)- (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 12:00:20 ON 18 DEC 2007)

FILE 'REGISTRY' ENTERED AT 12:00:34 ON 18 DEC 2007

FILE 'CASREACT' ENTERED AT 12:01:05 ON 18 DEC 2007

L1	STRUCTURE UPLOADED
L2	0 S L1 SSS SAM
L3	0 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	0 S L4 SSS SAM
L6	0 S L4 SSS FULL
L7	STRUCTURE UPLOADED
L8	0 S L7 SSS SAM
L9	0 S L7 SSS FULL

FILE 'REGISTRY' ENTERED AT 12:06:43 ON 18 DEC 2007

L10	1 S 38231-86-6
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FILE 'CAPLUS, MEDLINE' ENTERED AT 12:07:26 ON 18 DEC 2007

L11	125 S L10
L12	1 S L11 AND TFA
L13	124 S L11 NOT L12
L14	1 S L13 AND TRIFLUOROACET?
L15	123 S L13 NOT L14
L16	12 S L15 AND HYDROLY?
L17	111 S L15 NOT L16
L18	33 S L17 AND VALIDAMYCIN
L19	13 S L18 AND VALIDOXYLAMINE
L20	20 S L18 NOT L19
L21	78 S L17 NOT L18
L22	0 S L21 AND ?FLUOROACET?
L23	0 S L21 AND ?FLUOROETHANO?